



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 127307**

**TO: Shailendra Kumar**  
**Location: 5d61 / 5c18**  
**Sunday, July 25, 2004**  
**Art Unit: 1621**  
**Phone: 272-0640**  
**Serial Number: 10 / 624144**

**From: Jan Delaval**  
**Location: Biotech-Chem Library**  
**Rem 1A51**  
**Phone: 272-2504**  
**jan.delaval@uspto.gov**

### **Search Notes**

## SEARCH REQUEST FORM

## Scientific and Technical Information Center

Requester's Full Name: S. Kumar Examiner #: 69594 Date: 7/15/04  
 Art Unit: 1621 Phone Number: 30 2720610 Serial Number: 10 46678 024144  
 Mail Box and Bldg/Room Location: Room 526 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

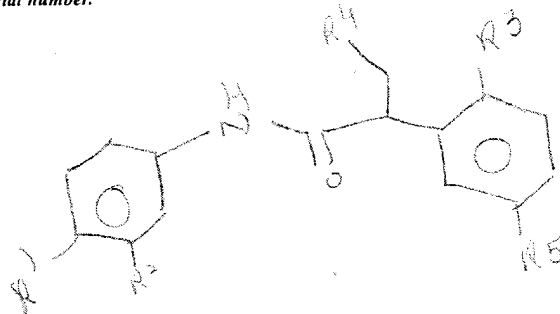
\*\*\*\*\*  
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: New Carboxylic acid amides, the preparation

Inventors (please provide full names): Maria L. Garcia et al

Earliest Priority Filing Date: 4/20/2001 7/26/2002

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



- R1 C3-7 cycloalkyl-carbonyl group, allyl-carbonyl, phenyl-carbonyl etc.
- R2 Hal, alkanyl, alkoxyl, alkyl
- R3 OH, NH2
- R4 phenyl, heteroaryl etc.
- R5 CH2-NH-R6
- R6 H, alkanyl-carbonyl etc.

Please see claims.

## STAFF USE ONLY

## Type of Search

## Vendors and cost where applicable

Searcher: S. Kumar  
 Searcher Phone #: 22504  
 Searcher Location: 7/25  
 Date Searcher Picked Up: 7/25  
 Date Completed: 7/25  
 Searcher Prep & Review Time: 15  
 Clerical Prep Time: 15  
 Online Time: + 20

NA Sequence (#) 1  
 AA Sequence (#) 1  
 Structure (#) 1  
 Bibliographic 1  
 Litigation 1  
 Fulltext 1  
 Patent Family 1  
 Other 1

STN 1  
 Dialog 1  
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 Dr.Link 1  
 Lexis/Nexis 1  
 Sequence Systems 1  
 WWW/Internet 1  
 Other (specify) 1

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FILE 'REGISTRY' ENTERED AT 15:55:37 ON 25 JUL 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 JUL 2004 HIGHEST RN 715654-51-6

DICTIONARY FILE UPDATES: 23 JUL 2004 HIGHEST RN 715654-51-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

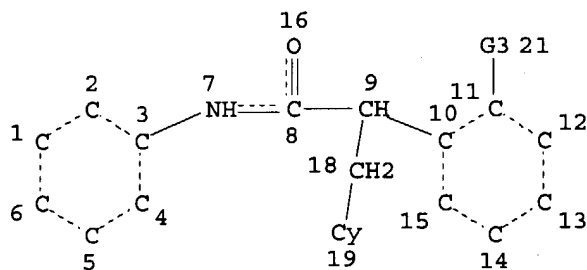
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que 17

L5

STR



VAR G3=OH/NH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L7

5 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 4979 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

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L7 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN

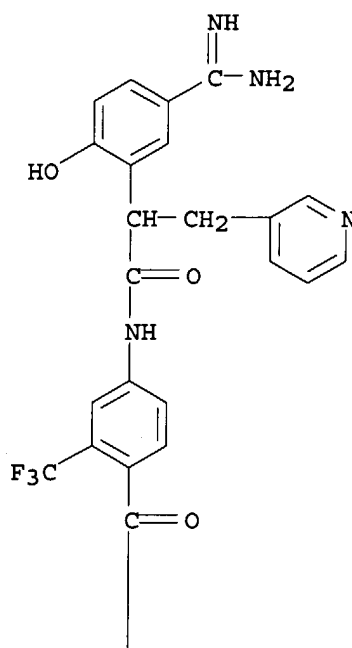
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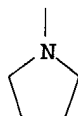
FS 3D CONCORD

MF C27 H26 F3 N5 O3  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA CAplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

PAGE 1-A



PAGE 2-A



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

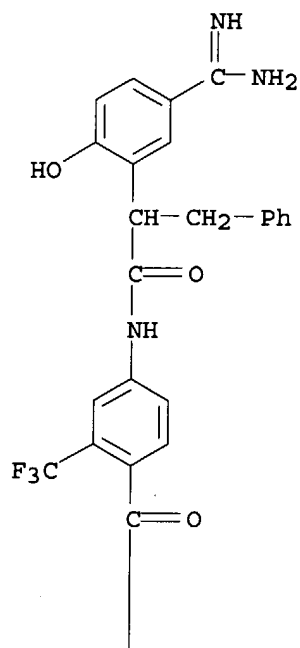
REFERENCE 1: 140:146146

L7 ANSWER 2 OF 5' REGISTRY COPYRIGHT 2004 ACS on STN  
RN 653603-98-6 REGISTRY  
CN Benzenepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)  
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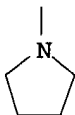
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RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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PAGE 2-A



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146146

L7 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN

RN 653603-96-4 REGISTRY

CN Benzenepropanamide, α-[5-(aminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

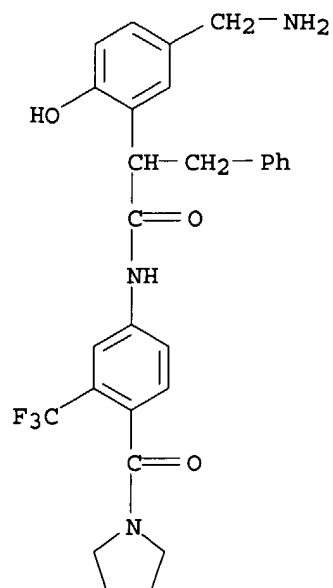
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DT.CA CAplus document type: Patent

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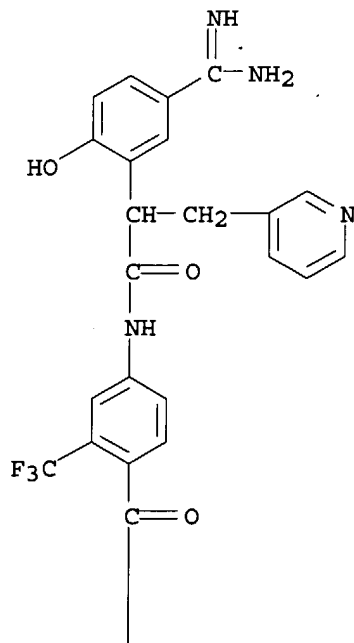
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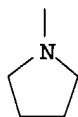
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RN 653603-94-2 REGISTRY  
CN 3-Pyridinepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)  
MF C27 H26 F3 N5 O3 . 2 Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
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CRN (653603-99-7)

PAGE 1-A



PAGE 2-A



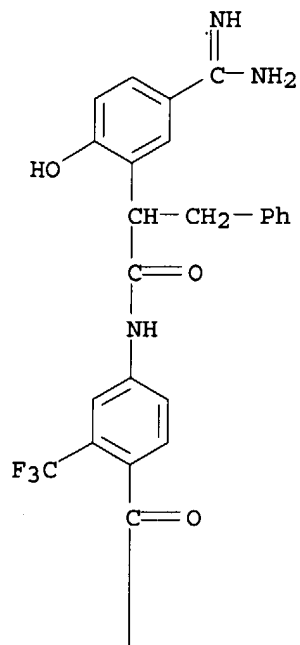
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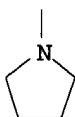
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L7 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN  
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(CA INDEX NAME)  
MF C28 H27 F3 N4 O3 . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
CRN (653603-98-6)

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PAGE 2-A



● HCl

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146146

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L3	STR L1
L4	0 S L3
L5	STR L3
L6	0 S L5
L7	5 S L5 FUL
	SAV TEMP L7 KUMAR466/A



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L11 526 S E3,E62-E74

E GARCIA MARIA/AU

L12 191 S E3,E48-E57

E GACZOROWSKI G/AU

E KACZOROWSKI G/AU

L13 157 S E3-E7

E GAO Y/AU

L14 721 S E3,E6

E GAO YING/AU

L15 232 S E3,E6

L16 2 S E26

SEL RN L10

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L18 10 S L17 AND NR>=2

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L19 1 S L7

FILE 'REGISTRY' ENTERED AT 15:55:37 ON 25 JUL 2004

=> fil uspatall

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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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=> d l19 bib abs hitstr

L19 ANSWER 1 OF 1 USPATFULL on STN

AN 2004:101859 USPATFULL

TI New carboxylic acid amides, the preparation thereof and their use as  
pharmaceutical compositions

IN Ries, Uwe, Biberach, GERMANY, FEDERAL REPUBLIC OF

Priepke, Henning, Warthausen, GERMANY, FEDERAL REPUBLIC OF

Wienen, Wolfgang, Bieberach/Rissegg, GERMANY, FEDERAL REPUBLIC OF

Nar, Herbert, Ochsenhausen, GERMANY, FEDERAL REPUBLIC OF

Handschuh, Sandra, Biberach, GERMANY, FEDERAL REPUBLIC OF

PA Boehringer Ingelheim Pharma GmbH & Co. KG, Ingelheim, GERMANY, FEDERAL  
REPUBLIC OF, 55216 (non-U.S. corporation)

PI US 2004077729 A1 20040422

AI US 2003-624144 A1 20030721 (10)

PRAI DE 2002-10234057 20020726

US 2002-404430P 20020819 (60)

DT Utility

FS APPLICATION

LREP BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368,  
RIDGEFIELD, CT, 06877

CLMN Number of Claims: 6

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 987

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Carboxylic acid amides of general formula ##STR1##

possessing antithrombotic activity and a factor Xa-inhibiting activity.  
Exemplary are:

(a) 2-(5-amidino-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)phenyl]-3-phenyl-propionamide,

(b) 2-(5-amidino-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)-phenyl]-3-(pyridin-3-yl)-propionamide, and

(c) 2-(5-aminomethyl-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)-phenyl]-3-phenyl-propionamide,

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 653603-90-8P 653603-94-2P 653603-96-4P

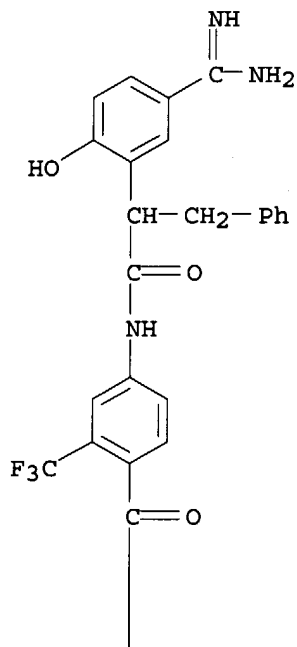
653603-98-6P 653603-99-7P

(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

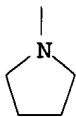
RN 653603-90-8 USPATFULL

CN Benzenepropanamide,  $\alpha$ -[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)

PAGE 1-A



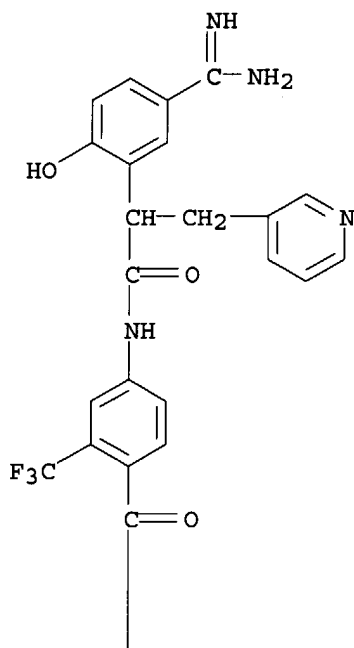
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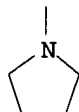
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 CN 3-Pyridinepropanamide,  $\alpha$ -[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, dihydrochloride  
 (9CI) (CA INDEX NAME)

PAGE 1-A

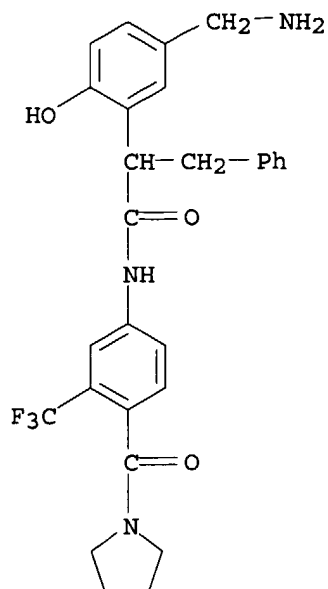


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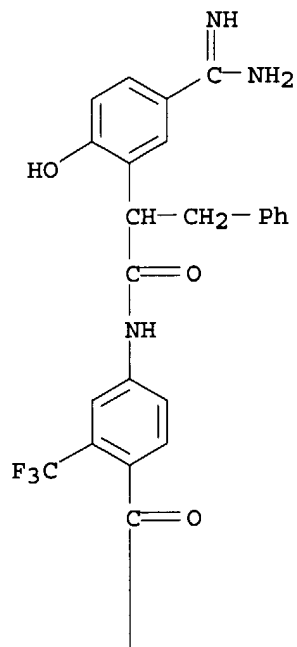
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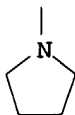


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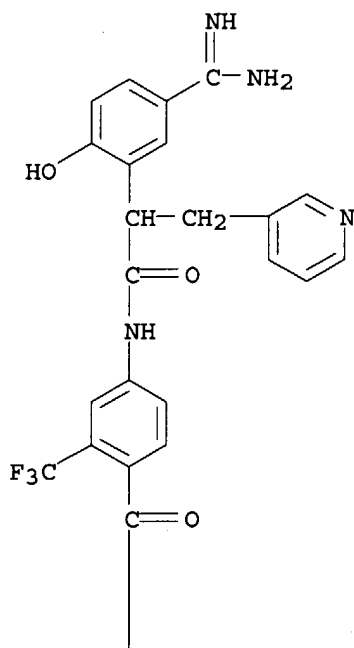


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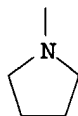


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=> fil hcaplus

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FILE COVERS 1907 - 25 Jul 2004 VOL 141 ISS 5  
FILE LAST UPDATED: 23 Jul 2004 (20040723/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all hitstr 19

L9 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:95332 HCAPLUS  
DN 140:146146  
ED Entered STN: 05 Feb 2004  
TI Preparation of 2-phenyl-N-[4-(pyrrolidin-1-ylcarbonyl)phenyl]propanamides  
as factor Xa inhibitors  
IN Priepke, Henning; Ries, Uwe; Nar, Herbert; Handschuh, Sandra; Wienen,  
Wolfgang  
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany  
SO Ger. Offen., 19 pp.  
CODEN: GWXXBX

DT Patent

LA German

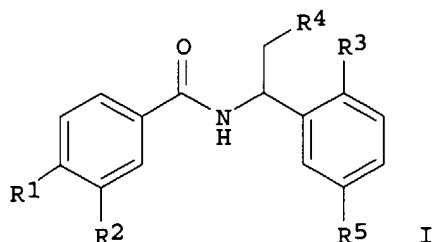
IC ICM C07C237-20

ICS A61K031-4184; A61K031-517; C07D207-00; C07D295-00; C07D213-04;  
C07D213-16; C07D213-44; C07B043-06; C07C231-00

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

FAN.CNT 1

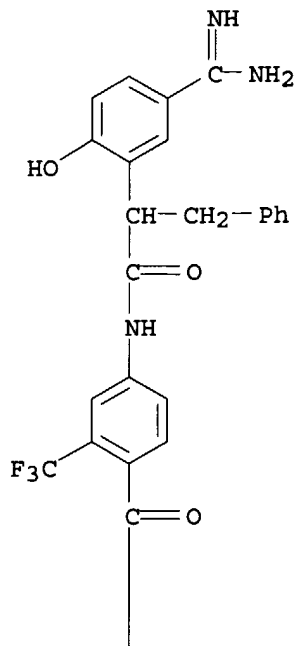
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GI					



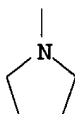
- AB Title compound [I; R1 = (substituted) (NH-interrupted) C3-7 cycloalkylcarbonyl, phenylcarbonyl, naphthylcarbonyl, heteroarylcarbonyl, etc.; R2 = F, Cl, Br, (fluorinated) alkenyl, alkoxy, alkyl; R3 = OH, amino; R4 = (substituted) Ph, heteroaryl, etc.; R5 = CH<sub>2</sub>NHR<sub>6</sub>, C(:NH)NH<sub>2</sub>, etc; R6 = H, alkoxycarbonyl, etc.], were prepared Thus, 2-(5-amidino-2-benzyloxyphenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-ylcarbonyl)phenyl]-3-(pyridin-3-yl)propionamide dihydrochloride in MeOH was hydrogenated with H<sub>2</sub> in the presence of Pd/activated C to give 66% 2-(5-amidino-hydroxyphenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-ylcarbonyl)phenyl]-3-(pyridin-3-yl)propionamide dihydrochloride. The latter inhibited factor Xa with IC<sub>50</sub> = 0.007 μM.
- ST phenylpyrrolidinylcarbonylphenylpropanamide prepn factor Xa inhibitor; propanamide pyrrolidinylcarbonylphenyl phenyl prepn anticoagulant
- IT Anticoagulants  
Human  
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
- IT Thrombosis  
(treatment; preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
- IT 9002-05-5, Factor Xa  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors; preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
- IT 653603-90-8P 653603-94-2P 653603-96-4P  
653603-98-6P 653603-99-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
- IT 100-39-0, Benzyl bromide 121-01-7, 2-Amino-5-nitrobenzotrifluoride 123-75-1, Pyrrolidine, reactions 446305-72-2 653603-95-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
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(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
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653603-98-6P 653603-99-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

RN 653603-90-8 HCAPLUS  
CN Benzenepropanamide,  $\alpha$ -[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)

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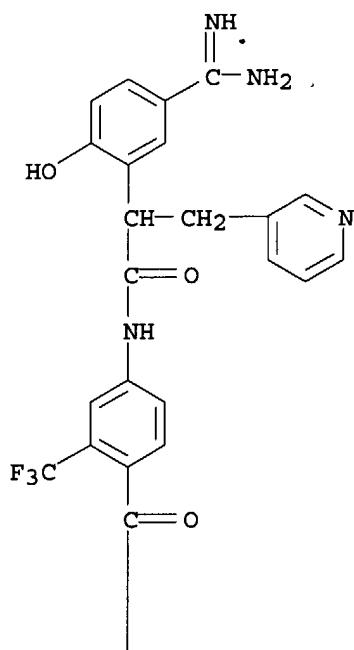


● HCl

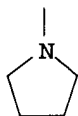
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CN 3-Pyridinepropanamide,  $\alpha$ -[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



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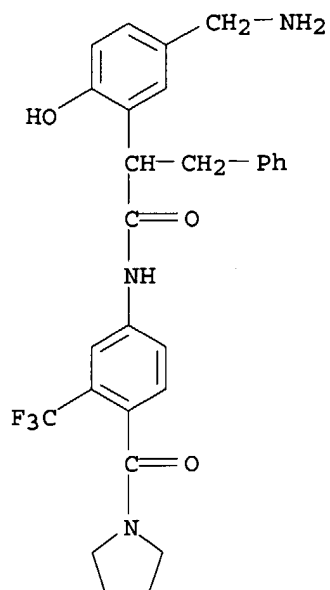


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● 2 HCl

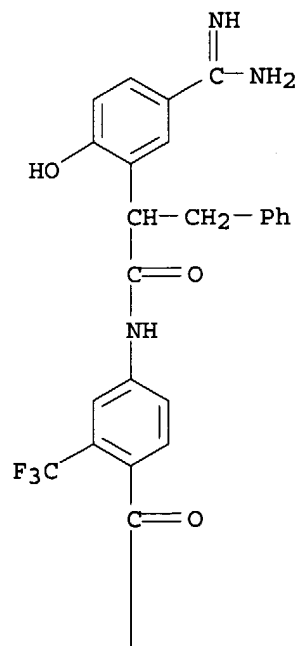
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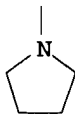
RN 653603-98-6 HCAPLUS

CN Benzenepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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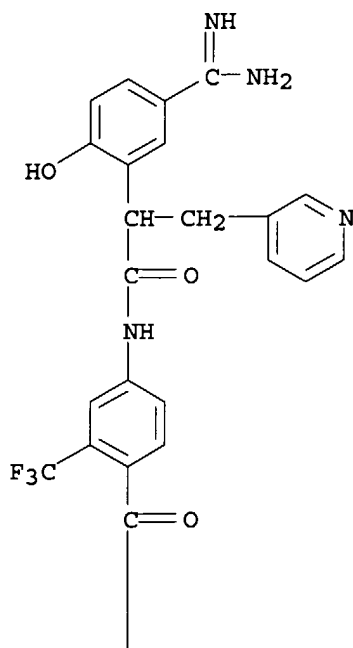


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RN 653603-99-7 HCAPLUS  
CN 3-Pyridinepropanamide,  $\alpha$ -[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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